10/799,784

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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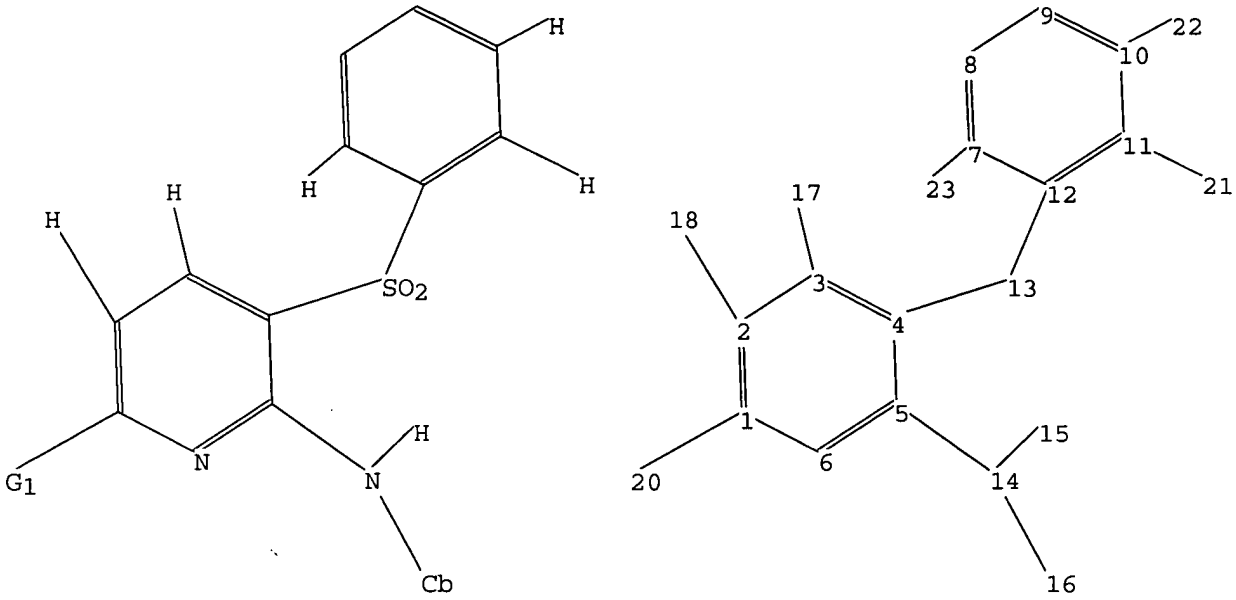


chain nodes :
13 14 15 16 17 18 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-20 2-18 3-17 4-13 5-14 7-23 10-22 11-21 12-13 14-15 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-20 5-14
exact bonds :
2-18 3-17 4-13 7-23 10-22 11-21 12-13 14-15 14-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

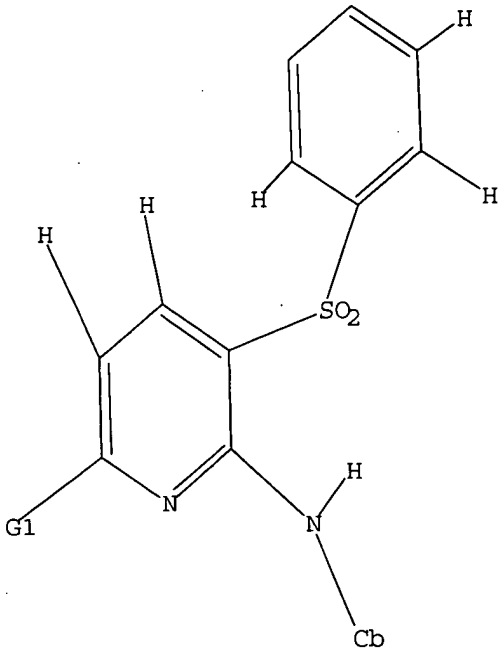
G1:H,O,N,CN,Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

L1 STR



G1 H,O,N,CN,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3            71 SEA SSS FUL L1

=> file ca

=> s l3
L4             1 L3

=> d ibib abs fhitstr
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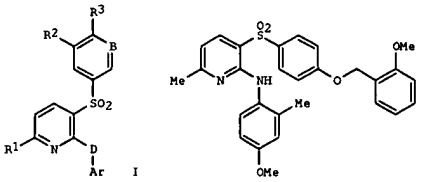
L4 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:366132 CA
TITLE: Preparation of pyridinyl derivatives as corticotropin releasing factor receptor 1 antagonists for the treatment of depression
INVENTOR(S): Hartz, Richard A.; Arvanitis, Argyrios G.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209917	A1	20041021	US 2004-799784	20040312
PRIORITY APPLN. INFO.:			US 2003-464058P P	20030418

OTHER SOURCE(S): MARPAT 141:366132
GI

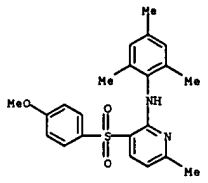


AB The title compds. I [B = CH, N; D = CH2, NH; R1 = H, CN, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; R3 = H, halo, CN, OH, etc.; Ar = Ph, indanyl, pyridyl, etc.] which are antagonists of the corticotropin releasing factor receptor type 1 (CRF-R1) useful for the treatment of depression, anxiety, affective disorders, feeding disorders, post-traumatic stress disorder, headache, drug addiction, inflammatory disorders, drug or alc. withdrawal symptoms and other conditions, were prepared E.g., a multi-step synthesis of II, starting from 6-methyl-2-pyridone, was given. The compds. I demonstrated a Ki value of less than about 10,000 nM for the inhibition of CRF in the CRF-R1 receptor binding assay. The pharmaceutical composition comprising the compound I is claimed.

IT **777939-84-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridinyl derivs. as corticotropin releasing factor receptor
1 antagonists for the treatment of depression)

RN 777939-84-1 CA

L4 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pyridinamine, 3-[(4-methoxyphenyl)sulfonyl]-6-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



10/799,784

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=> file marpat

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=> s l5/com
L6            29 L5/COM

=> d ibib abs fqhit 1-29
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L6 ANSWER 1 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 142:176704 MARPAT
TITLE: 4,N-Di(heteroaryl)-1,2,5,6-tetrahydropyridine-1-carboxamide compounds with VR1 antagonist activity, useful for treating or preventing pain, their preparation, and pharmaceutical compositions containing them
INVENTOR(S): Sun, Qun; Wen, Xin
PATENT ASSIGNEE(S): Euro-Celtique S. A., Luxembourg
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009988	A1	20050203	WO 2004-US23914	20040723

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

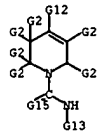
PRIORITY APPLN. INFO.: US 2003-489516P 20030724
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 4,N-Di(hetero)aryl-substituted tetrahydropyridine carboxamide compds. I are disclosed [wherein: Ar1 = certain (un)substituted pyridin-2-yl, pyrazin-2-yl, pyrimidin-4-yl, pyridazin-3-yl, or 1,2,5-thiadiazol-3-yl; Ar2 = certain (un)substituted benzimidazol-2-yl, benzothiazol-2-yl, benzoxazol-2-yl, pyridin-2-yl, pyridin-3-yl, cyclohexyl, or Ph; X = O, S, N(CN), N(OH), N(O-alkyl); R3 = halo, cyano, OH, NO2, NH2, (un)substituted alk(en/yn)yl, cycloalkyl, Ph, naphthyl, (hetero)aryl, etc.; m = 0 or 1; and pharmaceutically acceptable salts]. Compds. I are believed to be antagonists of VR1, mGluR5, and mGluR1 (no data). Also disclosed are compns. comprising I, as well as methods for treating or preventing various disorders by administering to an animal in need thereof an effective amount of a compound I. The treatable disorders include pain, urinary incontinence (UI), ulcers, inflammatory bowel disease (IBD), irritable bowel syndrome (IBS), addictive disorders, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, seizure, pruritic conditions, psychosis, cognitive disorders, memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis, dementia, retinopathy, muscle spasm, migraine, vomiting, dyskinesia, and depression. Several large tables of possible individual compds. are given, and prepns. of several specific compds. are described in detail. For instance, 4-tert-butylphenyl isocyanate and 1,4-dioxa-8-azaspiro[4,5]decane were coupled, followed by acidic deketalization of the spiroketal, conversion of the unmasked carbonyl to the enol triflate, and Pd(PPh3)4-catalyzed coupling of the triflate with 3-methyl-2-pyridylzinc bromide, to give invention compd. II. In two cellular assays for binding to recombinant human VR1 receptors, invention compd. III had IC50 values of 735 nM (pH-based) and 19 nM (capsaicin-based).

L6 ANSWER 1 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



G13 = 243

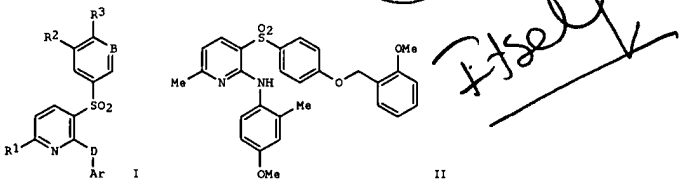
G21 = SO2 / NH (SO)
G23 = Ph
MPL: claim 1
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:366132 MARPAT
TITLE: Preparation of pyridinyl derivatives as corticotropin releasing factor receptor 1 antagonists for the treatment of depression
INVENTOR(S): Hartz, Richard A.; Arvanitis, Argyrios G.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 39 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004209917	A1	20041021	US 2004-799784	20040312

PRIORITY APPLN. INFO.: US 2003-464058P 20030418
GI

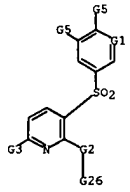


I II

AB The title compds. I [B = CH, N; D = CH2, NH; R1 = H, CN, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; R3 = H, halo, CN, OH, etc.; Ar = Ph, indanyl, pyridyl, etc.] which are antagonists of the corticotropin releasing factor receptor type 1 (CRF-R1) useful for the treatment of depression, anxiety, affective disorders, feeding disorders, post-traumatic stress disorder, headache, drug addiction, inflammatory disorders, drug or alc. withdrawal symptoms and other conditions, were prepared E.g., a multi-step synthesis of II, starting from 6-methyl-2-pyridone, was given. The compds. I demonstrated a Ki value of less than about 10,000 nM for the inhibition of CRF in the CRF-R1 receptor binding assay. The pharmaceutical composition comprising the compound I is claimed.

MSTR 1

L6 ANSWER 2 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = CH
G2 = NH
G26 = Ph (SO (1-4) G29)
MPL: claim 1
NTE: or pharmaceutically acceptable salts or solvates

L6 ANSWER 3 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:140459 MARPAT
TITLE: Preparation of sulfamides as anti-cancer agents
INVENTOR(S): Flynn, Daniel L.; Petrillo, Peter A.
PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060305	A2	20040722	WO 2003-US41425	20031226
WO 2004060305	A3	20050210		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171075	A1	20040902	US 2003-746545	20031224
US 2004176395	A1	20040909	US 2003-746607	20031224
PRIORITY APPLN. INFO.:			US 2002-437304P	20021231
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			US 2002-437415P	20021231
			US 2002-437487P	20021231
			US 2003-463804P	20030418

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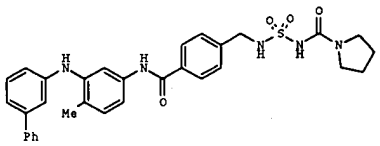
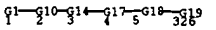


I

AB Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl α-kinase proteins. Thus, 4-HO2CC6H4CH2NHSO2NHCOR [R = pyrrolidino], prepared from 4-MeO2CC6H4CH2NH2 and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10μM.

L6 ANSWER 3 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1A



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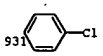

G3 = NH
G14 = 224-2 225-4

G42 = SO2
G43 = 810-793 812-5

MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed

L6 ANSWER 4 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:38531 MARPAT
TITLE: Preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists.
INVENTOR(S): Ugashe, Solomon; Zheng, Wei; Wright, J. J.; Pennell, Andrew
PATENT ASSIGNEE(S): Chemocentryx, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046092	A2	20040603	WO 2003-US36766	20031117
WO 2004046092	A3	20040715		
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US 2004171654	A1	20040902	US 2003-716170	20031117
US 2004167113	A1	20040826	US 2003-716183	20031118
WO 2004085384	A2	20041007	WO 2003-US37035	20031118
WO 2004085384	A3	20050203		
WO 2004085384	C1	20050324		
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PRIORITY APPLN. INFO.:			US 2002-427670P	20021118

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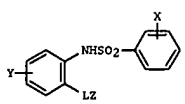
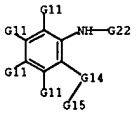


I

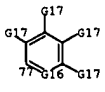
AB Title compds. [I; X = 1-4 of halo, cyano, NO2, OH, OR1, COR1, CO2R1, SR1, NR1R2, NR1COR2, etc.; R1, R2 = H, (substituted) haloalkyl, alkyl,

L6 ANSWER 4 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; Y = 1-3 of halo, cyano, NO2, OH, OR4, COR4, CO2R4, SR4, SOR4, SO2R4, (substituted) alkyl; R4 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl; L = CO, S, SO, SO2; Z = (substituted) mono- or bicyclic heteroaryl, heterocyclyl; with provisos], were prepd. Thus, reaction of (2-amino-5-chlorophenyl) pyridin-4-yl methanone (prepn. given) with 4-tert-butylbenzenesulfonyl chloride gave 4-tert-butyl-N-[4-chloro-2-(pyridine-4-carbonyl)phenyl]benzenesulfonamide. The latter at 50 mg/kd s.c. twice a day in MDR1a knockout mice prevented IBD-assocd. growth retardation.

MSTR 1



G14 = C(O)
G15 = 77



G16 = N
G18 = NH / SO2
G19 = Ph (SO)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted

L6 ANSWER 5 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:303703 MARPAT
TITLE: Preparation of pyridinylpiperazinehydroxamidines as analgesics.
INVENTOR(S): Sun, Qun; Zhou, Xiaoming
PATENT ASSIGNEE(S): Euro-Celtique, S.A., Luxembourg
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029031	A2	20040408	WO 2003-US30185	20030924
WO 2004029031	A3	20040805		
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PRIORITY APPLN. INFO.:			US 2002-412847P	20020924

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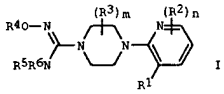
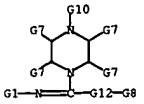


I

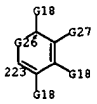
AB Title compds. [I; R1 = halo, Me, NO2, cyano, OH, OMe, NH2, CX3, CHX2, CH2X; X = halo; R2, R3 = halo, cyano, OH, NO2, alkoxy, NH2, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, bicycloalkyl, tricycloalkyl, cycloalkenyl, heterocyclyl, Ph, naphthyl, aryl, heteroaryl, etc.; R4 = H, alkyl, COR9, CONHR9; R5 = H, alkyl; R6 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, bicycloalkyl, Ph, naphthyl, aryl, heteroaryl, etc.; R9 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, heterocyclyl, CX3, CHX2, CH2X, OH, amino, etc.; m, n = 0-2], were prepared Thus, I (R1 = Cl; m, n = 0; R4, R5 = H; R6 = 4-Me3CC6H4) (preparation from piperazine outlined) in a pH-based assay bound to human VR1 receptors with IC50 = 40.9 nM.

MSTR 1

L6 ANSWER 5 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G13 = Ak<EC (2-) C, BD (0-) D (0-) T> (SO (1-) G14)
G16 = 223



G21 = Ph
G22 = NH / SO2
G26 = N
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claims 20, 39, 58, 77, 126 and 127
NTE: or pharmaceutically acceptable salts

L6 ANSWER 6 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:94233 MARPAT
TITLE: Preparation of aza-sugar piperidinetriol derivatives as antiviral and antitumor agents and inhibitors of glycosylceramide synthase
INVENTOR(S): Ali, Mezher Hussein; Orchard, Michael Glen
PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007454	A1	20040122	WO 2003-GB3244	20030717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2002-16656	20020717
			GB 2003-1480	20030122
			GB 2003-13674	20030613

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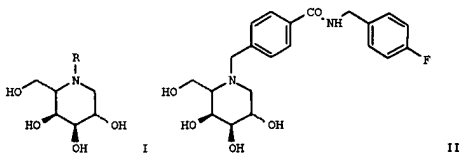


I II

AB Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.1 to > 100.0 μM).

MSTR 1

G7—G20

G1 = Ph

L6 ANSWER 6 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G6 = alkylene<(1-3)>
G7 = pyridyl (SR (1-) G8)
G8 = 23

G10 = SO2
MPL: claim 1
NTE: or pharmaceutically acceptable salts or prodrugs
NTE: also incorporates claim 14

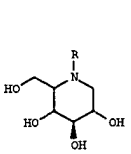
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

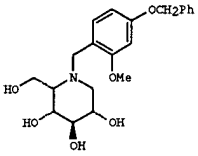
L6 ANSWER 7 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:94232 MARPAT
TITLE: Preparation of aza-sugar piperidinetriol derivatives as antiviral and antitumor agents and inhibitors of glycosylceramide synthase
INVENTOR(S): Ali, Mezher Hussein; Orchard, Michael Glen
PATENT ASSIGNEE(S): Oxford Glycosciences (UK) Ltd., UK
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007453	A1	20040122	WO 2003-GB3099	20030717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2002-16656 20020717
GB 2003-1480 20030122
GB 2003-13679 20030613

GI


I


II

AB Aza-sugar piperidinetriol derivs. I; wherein R is substituted alkylphenyl, alkylpyridiyl, were prepared as inhibitors of glucosylceramide synthase. Thus, II was prepared and tested in vitro as antiviral agent and inhibitor of glycosylceramide synthase (IC50 range = 0.01-2.70 μM).

MSTR 1

G7—G20

L6 ANSWER 7 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = Ph
G6 = alkylene<(1-3)>
G7 = pyridyl (SR (1-) G8)
G8 = 23

G10 = SO2
MPL: claim 1
NTE: or pharmaceutically acceptable salts or prodrugs
NTE: also incorporates claim 14

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:77168 MARPAT
TITLE: Certain aromatic monocycles, particularly trisubstituted [1,3,5]triazine derivatives, as kinase modulators, and their pharmaceutical compositions and methods of use
INVENTOR(S): Darrow, James W.; Desimone, Robert W.; Pippin, Douglas A.; Mitchell, Scott A.
PATENT ASSIGNEE(S): Cellular Genomics, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000820	A2	20031231	WO 2003-US19961	20030623
WO 2004000820	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082627	A1	20040429	US 2003-602559	20030623

PRIORITY APPLN. INFO.: US 2002-390626P 20020621

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I are useful as modulators of kinase activity [wherein: one of R1, R2, and R3 may = H, alkyl, (hetero)cycloalkyl, cycloalkylmethyl, alkoxy, alkoxyalkoxy, sulfonamide; otherwise, R1, R2, R3 = (un)substituted (di)alkylamino, Ph, PhCH2, heteroaryl, heteroaryloxy, PhOC6H4, 4-phenylpiperazin-1-yl, 4-heteroarylpiperazin-1-yl; n = 0 or 1; Z1, Z2, Z3 = NR4, O, X(:O), SO2, NR5X(:O), X(:O)NR6, NR7SO2, SO2NR8, NR9CONR10; X = C or S; R4-R10 = H, alkyl, (un)substituted Ph, PhCH2, heteroaryl; m = 0 or 1; W = 1,3,5-benzenetriyl, 1,3,5-triazine-2,4,6-triyl, 2,4,6-pyrimidinetriyl, 2,4,6-pyridinetriyl, 6-oxo-1,6-dihydropyridazine-3,5-diyl, pyrazine-2,6-diyl, pyridine-3,5-diyl, pyridazine-3,5-diyl; including pharmaceutically acceptable salts, hydrates, solvates, crystal forms, diastereomers, prodrugs, and mixts.]. Several brief synthetic examples and a listing of approx. 20 compds. are given. For instance, reaction of 2,4,6-trichloro-[1,3,5]triazine with 2-methoxybenzylamine and NaHCO3 in MeCN at 0° gave intermediate II, which was coupled with excess 4-PhOC6H4B(OH)2 in the presence of Pd(PPh3)4 and Na2CO3 to give invention compound III. In bioassays against human recombinant AKT-1 kinase, all exemplified compds. I had IC50 values of ≤ 25 μM.

MSTR 1B

L6 ANSWER 8 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = 117-84 118-127 115-144

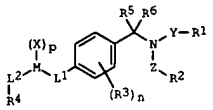
G2 = SO2
G6 = NH
G8 = Ph (SO G13)
MPL: claim 1
NTE: and pharmaceutically acceptable salts, hydrates, solvates, crystal forms, prodrugs, or mixtures
NTE: substitution is restricted
STE: and diastereomers

L6 ANSWER 9 OF 29 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:77029 MARPAT
TITLE: Preparation of heteroarene derivatives as cannabinoid receptor agonists
INVENTOR(S): Kozlowski, Joseph A.; Shankar, Bandarpalle B.; Shih, Neng-yang; Tong, Ling
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000807	A1	20031231	WO 2003-US19245	20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004044051	A1	20040304	US 2003-464174	20030617
PRIORITY APPLN. INFO.:			US 2002-389788P	20020619

GI



I

AB Benzylamine and 1-phenylethylamine compds. containing heteroarene such furan,
benzofuran, indole, pyridine, and thiofuran of the formula (I) or pharmaceutically acceptable salts thereof [wherein R1, R2 = H, each (un)substituted alkyl, alkenyl, haloalkyl, NH2, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R3 = alkyl, heteroalkyl, aryl, heteroaryl, Br, Cl, F, CF3, OCF2H, OCF3, or alkoxy, wherein R3 can be the same or different and is independently selected when n>1; R4 = (un)substituted H, alkyl, alkenyl, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R5, R6 = H, each (un)substituted alkyl, alkenyl, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl; R7 = H, each (un)substituted alkyl, alkenyl, haloalkyl, cycloalkyl, cycloheteroalkyl, aryl, or heteroaryl, or two R7 groups can form a ring of 4-7-carbon atoms; L1 = C(R2)2, CO, [CH(OR2)], SO2, SO, S, O, N(R2), CONH, NHCO, CF2, CH:NOR2, CH(NHOR2); L2 = a covalent bond, CH2, CH(Me), C(Me)2, CH:NOR2, SO2, SO, S, CO, O, N(R2), CONH, NHCO; M = a heteroaryl moiety; n = 0-4; p = 0-5; X = Br, Cl, F, CF3,

L6 ANSWER 9 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

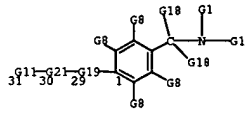
NTE: or pharmaceutically acceptable salts, solvates or N-oxides

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

OH, OCF2H, OCF3, alkoxy, alkyl, cycloalkyl, cycloalkyloxy, heteroalkyl, CON(R7)2, SO2R2, OSO2R2, wherein X is independently selected when p>1; Y = a covalent bond, CH2, SO2, CO; Z = a covalent bond, CH2, SO2, or CO; some provisos are applied] are prepd. Disclosed is a method of stimulating cannabinoid CB2 receptors in a patient comprising administering to a patient having CB2 receptors a CB2 receptor stimulating amt. of one or more compds. I. Also disclosed is a method of treating cancer, inflammatory diseases, immunomodulatory diseases, or respiratory diseases comprising administering to a patient in need of such treatment one or more compds. I. The said cancer, inflammatory diseases, immunomodulatory diseases or respiratory diseases are one or more diseases selected from the group consisting of cutaneous T cell lymphoma, rheumatoid arthritis, systemic lupus erythematosus, multiple sclerosis, glaucoma, diabetes, osteoporosis, renal ischemia, myocardial infarction, cerebral stroke, cerebral ischemia, nephritis, hepatitis, glomerulonephritis, cryptogenic fibrosing aveolitis, psoriasis, atopic dermatitis, vasculitis, allergy, seasonal allergic rhinitis, Crohn's disease, inflammatory bowel disease, reversible airway obstruction, adult respiratory distress syndrome, asthma, chronic obstructive pulmonary disease (COPD), and bronchitis.

MSTR 1



G16 = 96

G17 = 73

G19 = SO2
G21 = 123-31 122-29

G26 = N
MPL: claim 1

L6 ANSWER 10 OF 29 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:53404 MARPAT
TITLE: Amino-substituted monocycles as AKT-1 kinase modulators
INVENTOR(S): Darrow, James W.; Desimone, Robert W.; Pippin, Douglas A.; Mitchell, Scott A.
PATENT ASSIGNEE(S): Cellular Genomics, Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000318	A2	20031231	WO 2003-US19978	20030623
WO 2004000318	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004053927	A1	20040318	US 2003-602560	20030623
PRIORITY APPLN. INFO.:			US 2002-390628P	20020621

AB A composition comprises amino-substituted monocycle,a pharmaceutically acceptable salt, hydrate, solvate, crystal form, diastereomer, prodrug, or mixture thereof. The compds. are of utility as modulators of kinase activity.

MSTR 1

G23 = Ph
G27 = 153-325 149-358 150-130

G29 = Ph
G36 = SO2
G59 = 479

L6 ANSWER 10 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 1
NTE: or pharmaceutically acceptable salts or other derivatives

L6 ANSWER 11 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:42207 MARPAT
TITLE: Preparation of substituted hexahydropyrrolo[1,2-a]pyrazines, octahydropyrido[1,2-a]pyrazines and decahydropyrazino[1,2-a]azepines having binding affinity to the histamine H3 receptor
INVENTOR(S): Pesche, Bernd; Hohlweg, Rolf
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104235	A1	20031218	WO 2003-DK329	20030519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1513842	A1	20050316	EP 2003-722314	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
US 2004023946	A1	20040205	US 2003-453106	20030603
PRIORITY APPLN. INFO.:			DK 2002-863	20020606
			US 2002-387047P	20020607
			WO 2003-DK329	20030519

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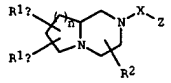
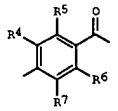


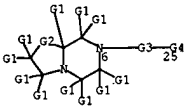
I

Q= 

Q1= 

L6 ANSWER 11 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
AB Novel substituted hexahydropyrrolo[1,2-a]pyrazines, octahydropyrido[1,2-a]pyrazines, and decahydropyrazino[1,2-a]azepines [I; n = 1, 2, 3; R1a, R1b = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-8-cycloalkyl, C3-8-cycloalkenyl, F; R2 = H, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-8-cycloalkyl, C3-8-cycloalkenyl; X = COCR3aR3CO, Q, Q1, CO2CR3aR3cCR3bR3d; wherein R3a, R3b, R3c, R3d = H, halo, C1-6-alkyl or C3-8-cycloalkyl, or R3a and R3b, R3a and R3c, or R3b and R3d can be taken together to form a C1-6-alkylene bridge; R4, R5, R6, R7 = independently H, halo, C1-6-alkyl or C3-8-cycloalkyl; Z = each (un)substituted Ph or 2-,3-, or 4-pyridyl] as well as any diastereomer or enantiomer or tautomeric forms thereof including mixts. of these or pharmaceutically acceptable salt thereof are prepared These compds. show a high and selective binding affinity to the histamine H3 receptor indicating histamine H3 receptor antagonistic, inverse agonistic activity. As a result, the compds. are useful for the treatment of diseases and disorders related to the histamine H3 receptor, e.g. overweight, obesity, bulimia, binge eating, impaired glucose tolerance (IGT), type 2 diabetes, allergic rhinitis, ulcer, anorexia, Alzheimer's disease, narcolepsy, or attention deficit disorder. They are useful for the suppression of appetite or for satiety induction or for the delaying or prevention of the progression of IGT to type 2 diabetes or the progression from non-insulin requiring type 2 diabetes to insulin requiring type 2 diabetes.

MSTR 1



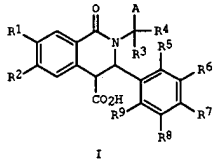
G4 = pyridyl (SO (1-) G12)
G13 = SO2
G14 = Ph
G15 = cycloalkylene<EC (3-8) C, AN (2-) C> (SO (1-) G6)
G16 = NH
G17 = Ph
MPL: claim 1
NTE: and pharmaceutically acceptable salts and tautomers
NTE: substitution is restricted
STE: and diastereomers, enantiomers, and mixtures

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:350644 MARPAT
TITLE: Preparation of tetrahydroisoquinolines as Bcl-2 or Bcl-xL inhibitors, their use as antitumor agents, and their screening method
INVENTOR(S): Takahashi, Motoo; Shimizu, Hisamichi; Kataoka, Yukio; Nishitoba, Takeshi
PATENT ASSIGNEE(S): Kirin Brewery Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 83 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003313168	A2	20031106	JP 2002-116715	20020418
PRIORITY APPLN. INFO.:			JP 2002-116715	20020418

GI

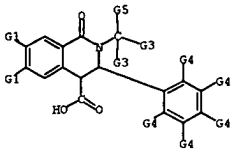


I

AB Title compds. I [R1, R2 = H, halo, C1-5 (halo)alkyl(oxy); R3, R4 = H, C1-3 (halo)alkyl; R5-R9 = H, C1-5 (halo)alkyl(oxy), NO2, etc.; A = (un)substituted 6-membered aromatic ring containing 1-3 N, furan residue, thiophene residue, pyrrole residue], their pharmacol. acceptable salts, or solvates, useful for treatment of various tumors, are prepared Bcl-2 or Bcl-xL inhibitors are selected by contacting mitochondria with a test compound, tBid protein, and Bcl-2 or Bcl-xL in buffer, removing the mitochondria, diluting with water, and detecting free cytochrome c. Thus, (5-methoxy-3H-inden-1-yloxy)trimethylsilane was oxidized with tert-Bu peroxide, refluxed with AcCl, and treated with imine (prepared from 4-tert-butylbenzylamine and 2,4-dichlorobenzaldehyde) to give I (R1 = R3 = R4 = R6 = R8 = R9 = H, R2 = MeO, A = 4-Me3CC6H4, R5 = R7 = Cl), which inhibited binding of tBid to Bcl-xL with IC50 of 24 μg/mL.

MSTR 1

L6 ANSWER 12 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 37

G6 = 73 / N

G9 = NH / SO2
G10 = Ph (SO (1-) G8)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: or pharmaceutically acceptable salts or solvates

L6 ANSWER 13 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:323437 MARPAT
TITLE: Preparation of heteroaryls for therapeutic use in pharmaceutical compositions as kinase inhibitors for treatment of hyperproliferative diseases, including cancer
INVENTOR(S): Li, Qun; Woods, Keith W.; Zhu, Gui-Dong; Fischer, John P.; Gong, Jianchun; Li, Tongmei; Gandhi, Virajkumar; Thomas, Sheela A.; Packard, Garrick K.; Song, Xiaohong; Abrams, Jason N.; Diebold, Robert B.; Dinges, Jurgen; Hutchins, Charles W.; Stoll, Vincent S.; Rosenberg, Saul H.; Giranda, Vincent L.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 120 pp., which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199511	A1	20031023	US 2002-317914	20021212
US 6831175	B2	20041214		
PRIORITY APPLN. INFO.:			US 2001-341356P	20011213
			US 2001-341474P	20011217

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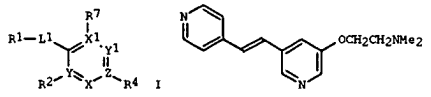
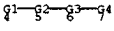


AB Compds., such as I [X = CR8, N (R8 = H, alkyl, NH2, etc.); X1, Y, Z = C, N; Y1 = CR9, N (R9 = H, L2L3(R3)(R6)); provided that 0-2 of X, X1, Y, Y1 and Z are N; L 1 = a bond, CO, S, etc.; L2 = a bond, O, S, etc.; L3 = a bond, alkylidene, alkylene; R1 = aryl, heteroaryl, heterocyclyl; R2 and R4 are absent or selected from H, alkenyl, alkyl, etc.; R2 and L1, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R2 and L2, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R3 = absent, H, aryl, arylalkoxy, etc.; R6 = H, aryl, arylalkoxy, etc.; R7 = absent, H, alkyl, cyanoalkenyl, etc.; R7 and L1, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; with the provisos], were prepared for therapeutic use as protein kinase inhibitors. Thus, 3,5-dibromopyridine was treated with HOCH2CH2NMe2, followed by 4-vinylpyridine to give the pyridinylethenylpyridine II. The prepared heteroaryls were assayed for inhibition of enzymic activity against kinases Akt1, Akt2, Akt3, PKA, PKC, Erk2 Chk1, Cdc2, Src, CK2, MAPKAP kinase-2 and SGK. Pharmaceutical compns. comprising aryls and heteroaryls I were claimed.

L6 ANSWER 13 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MSTR 1



G1 = Ph (SO)
G2 = SO2
G3 = 37-5 32-7

G5 = N
G12 = NH (SO)
G13 = Ph (SO)
G15 = 40

G17 = 42

MPL: claim 1
NTE: or therapeutically acceptable salts

L6 ANSWER 14 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:292151 MARPAT
TITLE: Preparation of pyridine derivatives as protein kinase inhibitors
INVENTOR(S): Li, Qun; Woods, Keith W.; Zhu, Gui-Dong; Fischer, John P.; Gong, Jianchun; Li, Tongmei; Gandhi, Virajkumar; Thomas, Sheela A.; Packard, Garrick K.; Song, Xiaohong; Abrams, Jason N.; Diebold, Robert; Dinges, Jurgen; Hutchins, Charles; Stoll, Vincent S.; Rosenberg, Saul H.; Giranda, Vincent L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 120 pp., Cont.-in-part of U.S. Ser. No. 23,363, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003187026	A1	20031002	US 2002-295833	20021118
WO 2003051366	A2	20030626	WO 2002-US39915	20021212
WO 2003051366	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1463505	A2	20041006	EP 2002-790126	20021212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-23363	20011213
			US 2002-295833	20021118
			WO 2002-US39915	20021212

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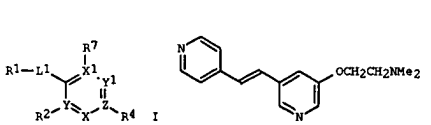
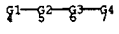


AB The title compds. I [X = CR8, N (R8 = H, alkyl, NH2, etc.); X1, Y, Z = C, N; Y1 = CR9, N (R9 = H, L2L3(R3)(R6)); provided that 0-2 of X, X1, Y, Y1 and Z are N; L 1 = a bond, CO, S, etc.; L2 = a bond, O, S, etc.; L3 = a bond, alkylidene, alkylene; R1 = aryl, heteroaryl, heterocyclyl; R2 and R4 are absent or selected from H, alkenyl, alkyl, etc.; R2 and L1, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R2 and L2, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R3 = absent, H, aryl, arylalkoxy, etc.; R6 = H, aryl, arylalkoxy, etc.; R7 = absent, H, alkyl,

L6 ANSWER 14 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
cyanoalkenyl, etc.; R7 and L1, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; with the provisos] were prepd. for use as kinase inhibitors with 77-100% inhibition of Akt at 1 μM. Thus, 3,5-dibromopyridine was treated with HOCH2CH2NMe2, followed by 4-vinylpyridine to give the pyridinylethenylpyridine (E)-II. Pharmaceutical compn. comprising the compd. I was claimed.

MSTR 1



G1 = Ph (SO)
G2 = SO2
G3 = 37-5 32-7

G5 = N
G12 = NH (SO)
G13 = Ph (SO)
G15 = 40

G17 = 42

MPL: claim 1
NTE: or therapeutically acceptable salts

L6 ANSWER 15 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:69152 MARPAT
TITLE: Preparation of pyridine derivatives as protein kinase inhibitors
INVENTOR(S): Li, Qun; Woods, Keith W.; Zhu, Gui-Dong; Fischer, John P.; Gong, Jianchun; Li, Tongmei; Gandhi, Viraj; Thomas, Sheela A.; Packard, Garrick; Song, Xiaohong; Abrams, Jason N.; Diebold, Robert; Dinges, Jurgen; Hutchins, Charles; Stoll, Vincent S.; Rosenberg, Saul H.; Giranda, Vincent L.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051366	A2	20030626	WO 2002-US39915	20021212
WO 2003051366	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003187026	A1	20031002	US 2002-295833	20021118
EP 1463505	A2	20041006	EP 2002-790126	20021212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-23363 20011213
US 2002-295833 20021118
WO 2002-US39915 20021212

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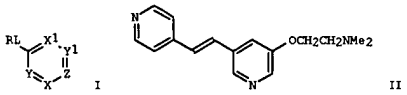
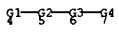


AB Pyridines I [X, X1, Y, Y1, Z = N, (un)substituted CH; L = O, alkenyl, alkynyl, CO, S, S(O), SO2, (un)substituted NH, SO2NH, NHSO2, CH2, CH2NH, NHCO, CONH; R = aryl, heteroaryl, heterocyclic] were prepared for use as kinase inhibitors with 77-100% inhibition of Akt at 1 μM. Thus, 3,5-dibromopyridine was treated with HOCH2CH2NMe2, followed by 4-vinylpyridine to give the pyridinylethenylpyridine (E)-II.

L6 ANSWER 15 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



G1 = Ph
G2 = SO2
G3 = 37-5 32-7

G5 = N
G12 = NH (SO)
G13 = Ph
G15 = 40

G17 = 42

MPL: claim 1
NTE: or therapeutically acceptable salts

L6 ANSWER 16 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:36439 MARPAT
TITLE: Preparation of 2-pyridinone AMPA receptor antagonists for the treatment of demyelinating disorders and neurodegenerative diseases
INVENTOR(S): Smith, Terence
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047577	A2	20030612	WO 2002-GB5542	20021206
WO 2003047577	A3	20030724		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1465626	A2	20041013	EP 2002-783299	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014705	A	20041123	BR 2002-14705	20021206

PRIORITY APPLN. INFO.: GB 2001-29260 20011206
WO 2002-GB5542 20021206

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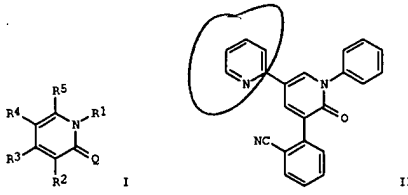
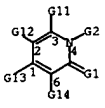


AB Compns. comprising title compds. I [wherein Q = NH, O, or S; R1-R5 = independently H, halo, alkyl, or XA; X = a bond, O, S, CO, SO, SO2, NR6, NR7CO, CONR8, NR9CH2, CH2NR10, CH2CO, COCH2, NR11SO0-2, SO0-2NR12, CH2SO0-2, SO0-2CH2, CH2O, OCH2, NR13CONR14, NR15CSNR16, or (un)substituted alkylene, alkenylene, or alkynylene; A = (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or (hetero)aryl; R6-R16 = independently H, alkyl, or alkoxy; with provisos; and salts and hydrates thereof] and an immunomodulatory, immunosuppressive, or an antiinflammatory agent are disclosed. Examples include the preparation of over 400 invention compds.

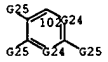
and

L6 ANSWER 16 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
ten biol. assays. For instance, coupling of 5-(2-pyridyl)-3-bromo-2-methoxypyridine with 2-(2-cyanophenyl)-1,3,2-dioxaborinane in the presence of Cs2CO3 in DMF gave 3-(2-cyanophenyl)-5-(2-pyridyl)-2-methoxypyridine, which was converted to the 2(1H)-pyridone using NaI and TMSCl in MeCN. Reaction with a suspension of phenylboronic acid, Cu(OAc)2, and TEA in CH2Cl2 provided II. The latter in combination with interferon β reduced the severity of paralysis and wt. loss during exptl. allergic encephalomyelitis (EAE) in rats compared to either II or interferon β alone. In addn., nearly 300 example compds. were tested and demonstrated suppressing action to calcium influx into nerve cells induced by AMPA with IC50 values ranging from 0.01 μM to 9.5 μM. Thus, I and compns. thereof are useful for the treatment of demyelinating disorders and neurodegenerative diseases.

MSTR 1



G1 = O
G4 = 102

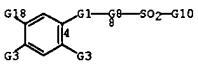


G15 = NH
G17 = SO2
G24 = 115

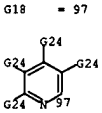
MPL: claim 1
NTE: substitution is restricted
NTE: or salts or hydrates

L6 ANSWER 17 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
the acid with Me2CHNMeSO2NH2. The carboxylic acid was prepd. from 2,4-ClFC6H3OH by protecting the phenol as the Me carbonate, nitration, deblocking, etherification with BrCHMeCO2Me, redn. to the amine, aminolysis of 2-dimethylamino-4-trifluoromethyl-1,3-oxazin-6-one with the resulting 5,2,4-H2N(Cl)(F)C6H2OCHMeCO2Me, N-methylation of the pyrimidinedione, and ester hydrolysis. II showed herbicidal activity against various weeds in e.g. wheat, pre-emergence at 16 g/ha.

MSTR 1



G18 = 97



G20 = Ph (SO)
G22 = SO2 / NH
MPL: claim 1
NTE: or salts or esters
STE: or optical isomers

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 138:304294 MARPAT
TITLE: Heterocyclyl-substituted phenoxyalkyl-, phenylthioalkyl-, phenylaminoalkyl- and phenylalkyl-sulfamoylcarboxamides as herbicides
INVENTOR(S): Karp, Gary M.; Donovan, Stephen F.; Marinelli, Brett A.; Langevine, Charles M.; Cossette, Michael V.; Guaciaro, Michael A.
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029226	A1	20030410	WO 2002-EP10758	20020925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-325080P 20010926
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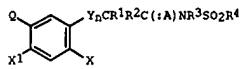
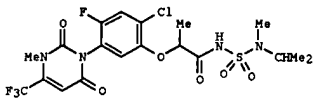


I



II

AB Title compds. I [A = O, S; X, X1 = H, halogen; n = 0, 1; Y = (un)substituted O, NH, CH2, S(O)m; m = 0-2; R = H, alkyl, alkoxyalkyl, (un)substituted CH2Ph; YR2 = CH; R1, R2 = H, alkyl, halogen; R1R2 = CH2; R3 = H, CN, alkly, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted CH2Ph; R4 = (un)substituted NH2, alkyl, cycloalkyl, alkenyl, alkynyl, Ph, heterocyclyl, CH2Ph; Q = (un)substituted N heterocyclic] were prepared Thus, the sulfamide II was prepared by amidating

L6 ANSWER 18 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:326554 MARPAT
TITLE: Pyrazole azo dyes, their production and coupling agents therefor
INVENTOR(S): Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083662	A2	20021024	WO 2002-JP3491	20020408
WO 2002083662	A3	20030306		

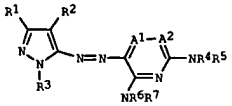
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002322151	A2	20021108	JP 2001-126239	20010424
JP 2002371079	A2	20021226	JP 2002-12108	20020121
EP 1377640	A2	20040107	EP 2002-708777	20020408

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004122219	A1	20040624	US 2003-473419	20030930

PRIORITY APPLN. INFO.:
JP 2001-110458 20010409
JP 2001-126239 20010424
JP 2002-12108 20020121
WO 2002-JP3491 20020408
GI

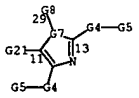


I

AB Aminopyrazole diazo component-based azo dyes (I; A1, A2 = N, optionally substituted -CH=; R1 = H, organic group; R2 = H, halogen, CN; R3 = H, organic group; R4, R5, R6, R7 = H, organic group, carboxy, sulfo, carbamoyl) are obtained from novel diamino heterocyclic coupling components. I are suitable for image formation and recording and have excellent ozone resistance. In an example, 5-amino-3-tert-butyl-4-cyanopyrazole was diazotized and coupled with 3-cyano-4-methyl-2,6-bis(p-octylanilino)pyridine and the product was condensed with

L6 ANSWER 18 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
2-chlorobenzothiazole to give a dye (λmax 545 nm in DMF).

MSTR 1



G4 = NH
G5 = 48

G6 = Ph
G7 = 28-13 27-11 28-29

G8 = 37

G9 = 30

MPL: claim 1
NTE: also incorporates claim 10

L6 ANSWER 19 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:140391 MARPAT
TITLE: Preparation of cyclin dependent kinase inhibiting purine derivatives
INVENTOR(S): Griffin, Roger John; Calvert, Alan Hilary; Curtin, Nicola Jane; Golding, Bernard Thomas; Hardcastle, Ian Robert; Newell, David Richard; Jewsbury, Philip John; Boyle, Francis Thomas; Endicott, Jane Anne; Noble, Martin Edward Mantyla
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Limited, UK
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059125	A1	20020801	WO 2002-GB272	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434085	AA	20020801	CA 2002-2434085	20020122
EP 1353922	A1	20031022	EP 2002-710100	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517930	T2	20040617	JP 2002-559427	20020122
US 2004110775	A1	20040610	US 2004-466693	20040106

PRIORITY APPLN. INFO.: GB 2001-1686 20010123
WO 2002-GB272 20020122

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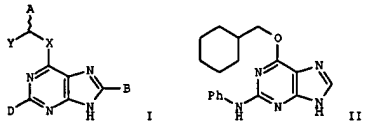
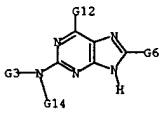


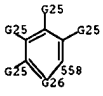
AB The title compds. [I; X = O, S, CHRx; Rx = H, alkyl; D = NZ1Z2; Z1 = H, alkyl, hydroxyalkyl, (un)substituted (hetero)aryl, (hetero)aralkyl; Z2 = (un)substituted (hetero)aryl, (hetero)aralkyl; A = H, alkyl, alkoxy, hydroxy, etc.; B = H, halo, alkyl, alkoxy, CF3, etc.; Y = (un)substituted 4-8 membered carbocyclic or heterocyclic ring, optionally forming part of a larger fused ring structure, or consists of an optionally substituted

L6 ANSWER 19 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
linear or branched hydrocarbon chain], useful in the treatment of tumors or other cell proliferation disorders, were prepd. Thus, heating O6-cyclohexylmethyl-2-fluoropurine (prepn. given) with aniline at 120° for 16 h afforded 46% II which showed IC50 of 1.6±0.1 μM and of 0.97±0.03 μM against CDK1 and CDK2, resp.

MSTR 1



G3 = Ph
G14 = 558



G23 = SO2
G24 = Ph
G26 = N
MPL: claim 1
NTE: or pharmaceutically acceptable salts or prodrugs, or tautomers

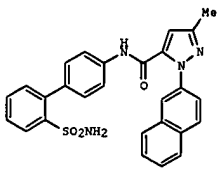
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:93747 MARPAT
TITLE: Preparation of pyrazolecarboxamides as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 303 pp., Cont.-in-part of U.S. Ser. No. 662,807.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091116	A1	20020711	US 2001-794214	20010228
US 6632815	B2	20031014		
US 6720317	B1	20040413	US 2000-662807	20000915
US 6686368	B1	20040203	US 2003-387927	20030312
US 2004116399	A1	20040617	US 2003-600695	20030620

PRIORITY APPLN. INFO.: US 1999-154332P 19990917
US 2000-662807 20000915
US 2001-794214 20010228

GI



AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.; Q = a direct link, divalent alkyl, alkenyl, etc.; D = a direct link, (un)substituted Ph, 5-10 membered (non)aromatic heterocyclyl; E = a direct link, (CH2)qCO, CO(CH2)x, etc.; q, x = 0-2; G = (un)substituted Ph, 5-6 membered heteroaryl; J = a direct link, SO2, CO, etc.; X = (un)substituted Ph, naphthyl, 6-membered heteroaryl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was given.

MSTR 18

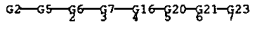
G1 = 177-1 182-3

L6 ANSWER 20 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G4 = Ph (SO)
G5 = SO2
G10 = Ph (SO)
G11 = NH (SO)
G29 = CH (SO)
MPL: claim 1
NTE: and all pharmaceutically acceptable salts, hydrates, solvates and prodrug derivative
NTE: additional ring formation also claimed.
NTE: substitution is restricted
STE: and all pharmaceutically acceptable isomers

L6 ANSWER 21 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
prepd. Thus, reacting 4-(chloromethyl)benzoyl chloride with 4-chloro-2-(5-chloro-2-pyridyl)aminocarbonylaniline in THF (91%) followed by treatment of the resulting N-(5-chloro-2-pyridyl)-2-(4-chloromethylphenylcarbonyl)amino-5-chlorobenzamide with Me3N in iso-Pr/H2O (68%) afforded II.

MSTR 1



G7 = phenylene
G16 = 45

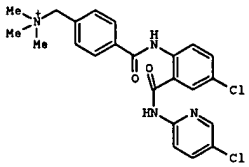
G20 = 124-4 123-6

G21 = SO2
G23 = Ph
MPL: claim 1
NTE: and pharmaceutically acceptable salts, hydrates, solvates and prodrug derivatives
STE: and pharmaceutically acceptable isomers

L6 ANSWER 21 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:279349 MARPAT
TITLE: Preparation of novel quaternary amine containing benzamides as inhibitors of factor Xa
INVENTOR(S): Zhang, Fenglie; Zuckett, Jingmei Fan; Bao, Liang; Scarborough, Robert M.; Zhu, Bing-yan
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026712	A2	20020404	WO 2001-US42352	20011001
WO 2002026712	A3	20021017		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014626	A5	20020408	AU 2002-14626	20011001
US 2004067938	A1	20040408	US 2003-381925	20031103
PRIORITY APPLN. INFO.:			US 2000-236330P	20000929
			WO 2001-US42352	20011001

GI



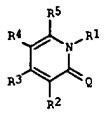
AB The title compds. AQDEGJZ [I; A = R1aR1bR1cN+; R1a, R1b, R1c = alkyl, haloalkyl, cycloalkyl, etc.; Q = a direct link, CH2; D = (un)substituted phenylene, naphthylene, etc.; E = a direct link, CH2, CONH, etc.; G = (un)substituted phenylene, etc.; J = a direct link, CONH, O, etc.; Z = (un)substituted Ph, naphthyl, pyridyl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were

L6 ANSWER 22 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:53682 MARPAT
TITLE: Preparation of 1,2-dihydropyridinone compounds and use thereof as AMPA receptor and kainite receptor inhibitors
INVENTOR(S): Nagato, Satoshi; Ueno, Kohshi; Kawano, Koki; Norimine, Yoshihiko; Ito, Koichi; Hanada, Takahisa; Ueno, Masataka; Amino, Hiroyuki; Ogo, Makoto; Hatakeyama, Shinji; Urawa, Yoshio; Naka, Hiroyuki; Groom, Anthony John; Rivers, Leanne; Smith, Terence
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096308	A1	20011220	WO 2001-JP4857	20010608
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001062723	A5	20011224	AU 2001-62723	20010608
CA 2412172	AA	20021206	CA 2001-2412172	20010608
EP 1300396	A1	20030409	EP 2001-936920	20010608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011596	A	20040302	BR 2001-11596	20010608
US 2004023973	A1	20040205	US 2002-296719	20021126
NO 2002005955	A	20030212	NO 2002-5955	20021211
PRIORITY APPLN. INFO.:			JP 2000-175966	20000612
			GB 2000-22483	20000913
			WO 2001-JP4857	20010608

GI

L6 ANSWER 22 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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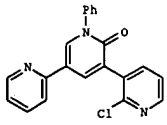
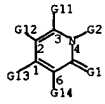


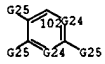
II

AB Title compds. [I; Q = NH, O, S; R1, R2, R3, R4, R5 each independently = H, halo, C1-6 alkyl-XA; X = single bond, C1-6 alkylene; A = C6-14 aromatic carbocyclic, C6-14 aromatic heterocyclic], salts, hydrates, and 3-(2-cyanophenyl)-4-(2-pyridyl)-2-methoxypyridine, exhibiting excellent inhibitory activities against AMPA receptor and/or kainite receptor, are prepared Thus, the title compound II was prepared and orally tested effective
as anti-AMPA-induced-spasm agent in male ddy mouse and in vitro anti-AMPA-induced nerve cell calcium influx.

MSTR 1



G1 = O
G4 = 102



L6 ANSWER 22 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G15 = NH
G17 = SO2
G24 = 115

MPL: claim 1
NTE: substitution is restricted
NTE: or salts or hydrates

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

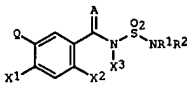
L6 ANSWER 23 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:357938 MARPAT
TITLE: Preparation of uracil substituted N-sulfamoyl benzamides as herbicides
INVENTOR(S): Carlsen, Marianne; Guaciaro, Michael Anthony; Takasugi, James Jan
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083459	A2	20011108	WO 2001-EP4850	20010430
WO 2001083459	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383858	AA	20011108	CA 2001-2383858	20010430
EP 1226127	A2	20020731	EP 2001-931674	20010430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 517562	A	20040924	NZ 2001-517562	20010430
US 2002045550	A1	20020418	US 2001-848881	20010504
US 6534492	B2	20030318		
BG 106473	A	20021031	BG 2002-106473	20020304
ZA 2002001776	A	20030311	ZA 2002-1776	20020304
BR 2002000970	A	20031118	BR 2002-970	20020326
US 2003224941	A1	20031204	US 2003-347920	20030122
US 6689773	B2	20040210		
US 2004220172	A1	20041104	US 2003-684940	20031015
US 6849618	B2	20050201		

PRIORITY APPLN. INFO.:
US 2000-201824P 20000504
WO 2001-EP4850 20010430
US 2001-848881 20010504
US 2003-347920 20030122

GI

L6 ANSWER 23 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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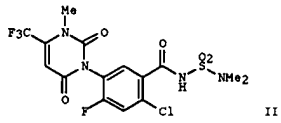
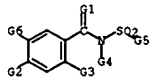


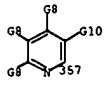
II

AB The title compds. [I; A = O, S; X1 = H, halo, alkyl; X2 = H, CN, CSNH2, halo, alkyl, haloalkyl; X3 = H, CN, alkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted CH2Ph; R1, R2 = H, halo, (un)substituted OH, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, CH2Ph or cycloalkenyl; or R1 and R2 together with the atom to which they are attached form a 3-7 membered heterocyclic ring; Q = substituted 2,4-dioxo-pyrimidin-3-yl, 5-oxo-1H-1,2,4-triazol-1-yl; 3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl, etc.], were prepared as herbicides (biol. data given). Thus, treating 3-(5-carboxy-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-1H-pyrimidine-2,4-dione (preparation given) with carbonyldiimidazole in THF followed by addition of dimethylsulfamide, and then diazabicycloundecane afforded 42% II.

MSTR 1



G6 = 357



G12 = SO2 / NH
G16 = Ph (SO)
MPL: claim 1
NTE: and agriculturally useful salts
NTE: additional ring formation also claimed

L6 ANSWER 23 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

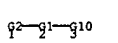
L6 ANSWER 24 OF 29 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:210946 MARPAT
TITLE: Preparation of pyridylamides as Factor Xa inhibitors.
INVENTOR(S): Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064642	A2	20010907	WO 2001-US6247	20010228
WO 2001064642	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6844367	B1	20050118	US 2000-663420	20000915
PRIORITY APPLN. INFO.:			US 2000-185746P	20000229
			US 2000-663420	20000915
			US 1999-154332P	19990917

AB AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 = atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond, CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused bicyclyl], were prepared as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (preparation given), 4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-aminosulfonyl)phenyl]phenylcarbonylamino]phenylcarboxamide.

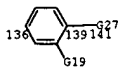
MSTR 1A



G1 = 231-1 230-3

L6 ANSWER 24 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G8 = 136-5 141-2



G11 = 26-2 27-20

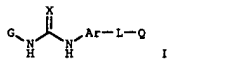
G15 = Ph (SO)
G27 = SO2
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed
NTE: and pharmaceutically acceptable salts, hydrates, solvates and prodrug derivatives
STE: and pharmaceutically acceptable isomers

L6 ANSWER 25 OF 29 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:5453 MARPAT
TITLE: Preparation of aromatic heterocyclic substituted urea derivatives as non-steroidal anti-inflammatory agents
INVENTOR(S): Breitfelder, Steffen; Cirillo, Pier F.; Hao, Ming-Hong; Hickey, Eugene R.; Sharma, Rajiv; Sun, Sanxing; Takahashi, Hidenori
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036403	A1	20010525	WO 2000-US31582	20001116
W: AE, AU, BG, BR, BY, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2389360	AA	20010525	CA 2000-2389360	20001116
EP 1232150	A1	20020821	EP 2000-978751	20001116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
US 6492393	B1	20021210	US 2000-714539	20001116
JP 2003514808	T2	20030422	JP 2001-538892	20001116
US 2003125354	A1	20030703	US 2002-271301	20021015
PRIORITY APPLN. INFO.:			US 1999-165903P	19991116
			US 2000-714539	20001116
			WO 2000-US31582	20001116

GI



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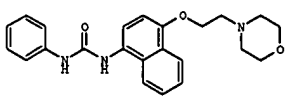
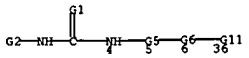


II

AB Title compds. (I) [wherein G = (un)substituted (non)aromatic carbocycle or heterocycle; Ar = (un)substituted Ph, (tetrahydro)naphthyl, (tetrahydro)quinolinyl, (tetrahydro)isoquinolinyl, (dihydro)benzofuranyl, dihydrobenzothienyl, indolenyl, benzothiophenyl, benzimidazolyl, indanyl, indenyl, or indolyl; L = (un)substituted (un)saturated C chain with one or more methylene groups optionally independently replaced by O, N, or S(O)m; Q = (un)substituted Ph, naphthyl, pyridinyl, pyrimidinyl, pyridazinyl, (benz)imidazolyl, furanyl, thenyl, pyranyl, etc.; m = 0-2; X = O or S]

L6 ANSWER 25 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
were prepd. as cytokine prodn. inhibitors for use as non-steroidal anti-inflammatory agents. Thus, 4-[2-(morpholin-4-yl)ethoxy]naphth-1-ylamine was treated sequentially with phosgene and 5-tert-butyl-2-methylaniline in CH2Cl2 to give II (42%). In a cytokine prodn. inhibition assay, II inhibited TNFα in lipopolysaccharide stimulated THP cells with IC50 < 10 μM.

MSTR 1



G5 = phenylene (SO)
G6 = SO2
G11 = pyridyl (SO (1-3) G12)
G12 = 85

G21 = Ph (SO (1-2) G22)
MPL: claim 1
NTE: and pharmaceutically acceptable derivatives
NTE: additional interruptions also claimed

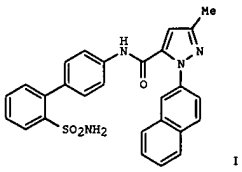
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:252334 MARPAT
TITLE: Preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA
SOURCE: PCT Int. Appl., 314 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019798	A2	20010322	WO 2000-US25195	20000915
WO 2001019798	A3	20011025		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385589	AA	20010322	CA 2000-2385589	20000915
AU 2000074866	A5	20010417	AU 2000-74866	20000915
EP 1216231	A2	20020626	EP 2000-963451	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014078	A	20021231	BR 2000-14078	20000915
TR 200201413	T2	20030221	TR 2002-20020141320000915	
JP 2003509412	T2	20030311	JP 2001-523378	20000915
NZ 517828	A	20031031	NZ 2000-517828	20000915
NO 2002001230	A	20020521	NO 2002-1230	20020312
ZA 2002002117	A	20031215	ZA 2002-2117	20020314
ZA 2002002116	A	20040210	ZA 2002-2116	20020314
ZA 2003006488	A	20040216	ZA 2003-6488	20030820
ZA 2003006490	A	20040323	ZA 2003-6490	20030820
PRIORITY APPLN. INFO.:			US 1999-154332P	19990917
			WO 2000-US25195	20000915

GI

L6 ANSWER 26 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph; Q = a direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH2)qCO, SO2, etc.; q = 0-2; G = (un)substituted Ph, (un)substituted 5-6 membered (non)aromatic heterocyclic a ring containing 1-4 heteroatoms selected from N, O and S; J = a direct link, SO2, CO, etc.; X = (un)substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.

MSTR 1

G1 = 105-1 104-3

G3 = Ph (SO)
G8 = 159

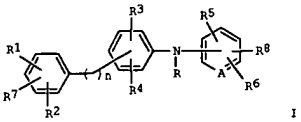
G11 = SO2
G15 = Ph (SO)
G16 = CH (SO)
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed

L6 ANSWER 27 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:56480 MARPAT
TITLE: Method of inhibiting amyloid protein aggregation, treating Alzheimer's disease, and imaging amyloid deposits using [[(phenylalkyl)phenyl]amino]benzoic acids and analogs
INVENTOR(S): Augelli-Szafran, Corinne Elizabeth; Barvian, Mark Robert; Bigge, Christopher Franklin; Glase, Shelly Ann; Hachiya, Shunichiro; Keily, John Steven; Kimura, Takenori; Lai, Yingjie; Sakkab, Annette Theresa; Suto, Mark James; Walker, Lary Craswell; Yasunaga, Tomoyuki; Zhuang, Nian
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Yamanouchi Pharmaceutical Company, Ltd.; et al.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

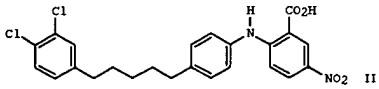
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076489	A2	20001221	WO 2000-US15071	20000531
WO 2000076489	A3	20020530		
W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375551	AA	20001221	CA 2000-2375551	20000531
BR 2000011728	A	20020226	BR 2000-11728	20000531
EP 1225886	A2	20020731	EP 2000-939471	20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200103551	T2	20021223	TR 2001-20010355120000531	
JP 2003504310	T2	20030204	JP 2001-502823	20000531
EE 200100673	A	20030217	EE 2001-673	20000531
NZ 515621	A	20040528	NZ 2000-515621	20000531
AU 775157	B2	20040722	AU 2000-54553	20000531
ZA 2001009794	A	20030701	ZA 2001-9794	20011128
NO 2001005995	A	20020204	NO 2001-5995	20011207
BG 106293	A	20020628	BG 2002-106293	20020109
HR 2002000026	A1	20030831	HR 2002-26	20020110
US 2004220235	A1	20041104	US 2004-858912	20040602
PRIORITY APPLN. INFO.:			US 1999-138550P	19990610
			WO 2000-US15071	20000531
			US 2002-9611	20020520

GI

L6 ANSWER 27 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



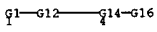
I



II

AB The invention provides a method of treating Alzheimer's disease using compds. I and their pharmaceutically acceptable salts [wherein: R = H, alkyl, alkanoyl; n = 0-5; R1-R7 = H, halo, OH, (un)substituted NH2 or cyclic amino, CO2H or derivs., NO2, alkoxy, CF3, cyano, (un)substituted OPh, etc.; or R1R2 = OCH2O; R8 = CO2H, tetrazolyl, SO2R9, CONHSO2R9; R9 = H, alkyl, CF3, or Ph; A = CH or N]. Also provided is a method of inhibiting the aggregation of amyloid proteins using I, and a method of imaging amyloid deposits, as well as new compds. Claims further include pharmaceutical formulations containing I. Examples include 163 synthetic examples and 4 bioassays. For instance, title compound II was prepared by a sequence of: (1) reaction of 4-(bromomethyl)-1,2-dichlorobenzene with PPh3 to give a bromophosphorane (i.e., phosphonium salt) (78%); (2) Swern oxidation of 4-(4-nitrophenyl)butan-1-ol to the aldehyde (65%); (3) Wittig reaction of the above 2 products to give an alkene (99%); (4) hydrogenation of the alkene and nitro functions (46%); and (5) lithiation and coupling of the amine with 2-fluoro-5-nitrobenzoic acid (75%). In an assay for inhibition of self-seeded amyloid fibril growth, II had an IC50 of 0.9 μM. A combinatorial methodol. for preparation of I is also described.

MSTR 1



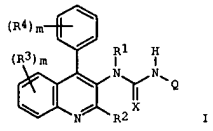
G12 = phenylene (SO)
G14 = NH
G16 = pyridyl (SR (1-3) G20)
G18 = 111

L6 ANSWER 27 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G19 = Ph
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L6 ANSWER 28 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 126:157405 MARPAT
TITLE: Preparation of 4-aryl-3-(heteroarylureido)quinolines as inhibitors of acyl CoA
INVENTOR(S): Hamanaka, Ernest S.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5596001	A	19970121	US 1993-133206	19931025

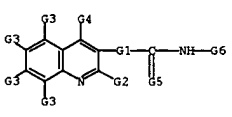
PRIORITY APPLN. INFO.: US 1993-133206 19931025
GI



I

AB The title compds. [I; R1 = H, C1-6 alkyl, C6-12 aralkyl (wherein aryl = Ph, thienyl, furyl, pyridinyl); R2 = H, C1-6 alkyl, C1-6 alkoxy; R3, R4 = H, halo, (un)substituted C1-6 alkyl, etc.; X = S, O; Q = (un)substituted quinolin-5-yl, pyridin-3-yl, pyrimidin-5-yl, etc.], inhibitors of acyl CoA: cholesterol acyltransferase (ACAT) and useful as hypolipidemic and antiatherosclerotics, were prepared Thus, reaction of 3-amino-6-chloro-4-(2-chlorophenyl)quinoline with 4,6-bis(methylthio)-2-methylpyrimidin-5-yl isocyanate in DMF afforded 48% I [R1, R2 = H; R3 = 6-Cl; R4 = 2-Cl; X = O; Q = 4,6-bis(methylthio)-2-methylpyrimidin-5-yl]. Compds. I are effective at 0.8-5 mg/kg/day.

MSTR 1



G6 = pyridyl (SO (1-4) G25)
G19 = Ph (SR)
G21 = Ph (SO)

L6 ANSWER 28 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G25 = 130

G27 = SO2
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L6 ANSWER 29 OF 29 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:77180 MARPAT
TITLE: 4-aryl-3-heteroarylureido-1,2-dihydro-2-oxoquinoline derivatives as anticholesteremic and antiatherosclerotic agents
INVENTOR(S): Hamanaka, Ernest S.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315058	A1	19930805	WO 1992-US10886	19921221
W: AU, CA, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9333233	A1	19930901	AU 1993-33233	19921221
EP 623112	A1	19941109	EP 1993-901257	19921221
EP 623112	B1	19981230		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07503712	T2	19950420	JP 1992-513207	19921221
CA 2128093	C	19980203	CA 1992-2128093	19921221
AT 175196	E	19990115	AT 1993-901257	19921221
ES 2125325	T3	19990301	ES 1993-901257	19921221
HU 63625	A2	19930928	HU 1993-197	19930122
ZA 9300482	A	19940722	ZA 1993-482	19930122
NO 9402757	A	19940722	NO 1994-2757	19940722
US 5565472	A	19961015	US 1994-256303	19941018
FI 2001000074	A	20010112	FI 2001-74	20010112
PRIORITY APPLN. INFO.:			US 1992-824639	19920123
			WO 1992-US10886	19921221

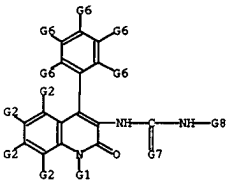
OTHER SOURCE(S): CASREACT 120:77180
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I wherein each m is independently selected from 0 to 4; R2 is selected from hydrogen and (C1-C6) allyl; each R3 and R4 is independently selected from halogen, (C1-C6) allyl optionally substituted with on or more halogen atoms, (C1-C6) alkoxy optionally substituted with one or more halogen atoms, (C1-C6) alkylthio optionally substituted with one or more halogen atoms; nitro, carboxyl optionally esterified with a (C1-C6) alkyl group; hydroxyl, (C1-C4) acyloxy and (C1-C3) acyl; X is sulfur or oxygen; and Q is a group of formula II, III, of IV wherein m is as defined above; n is 0 or 1. Each l is independently selected from 0 to 3; R6, R7 = halo, (halo)alkyl, -alkoxy, alkylthio, etc.; B, D, E and G are selected from the group consisting of nitrogen and carbon, with the proviso that one or more of B, D, and E is nitrogen, and with the proviso that when G is nitrogen, the group IV is attached to the nitrogen of I at 4 or 5 position of the pyrimidine ring (designated by a and b) wherein any of said nitrogens may be oxidized, or the pharmaceutically acceptable salts thereof, and intermediates having formula V used in the synthesis of such compds. The compds. of formula I are inhibitors of acyl CoA: cholesterol acyltransferase (ACAT) and are useful as hypolipidemic and antiatherosclerosis agents.

L6 ANSWER 29 OF 29 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1E



G8 = 2-pyridyl (SO (1-) G9)
G9 = 145

G13 = SO2
G14 = Ph (SR (1-) G17)
G16 = Ph (SO (1-) G17)
DER: and pharmaceutically acceptable salts
MPL: claim 1

10/799,784

```
=> d his

     (FILE 'HOME' ENTERED AT 15:08:03 ON 19 APR 2005)

     FILE 'REGISTRY' ENTERED AT 15:08:08 ON 19 APR 2005
L1                STRUCTURE UPLOADED
L2              1 S L1 SAM
L3             71 S L1 FULL

     FILE 'CA' ENTERED AT 15:08:32 ON 19 APR 2005
L4              1 S L3

     FILE 'MARPAT' ENTERED AT 15:08:49 ON 19 APR 2005
L5             30 S L1 FULL
L6             29 S L5/COM

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:09:35 ON 19 APR 2005
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